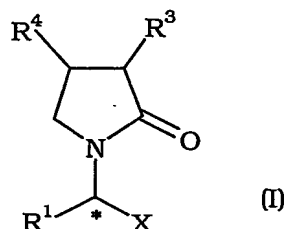


## Claims

1. A process for the preparation of 2-oxo-1-pyrrolidine derivatives of general formula (I), and salts thereof,



wherein :

$R^1$  is  $R^a$  or  $R^b$ ;

$R^3$  and  $R^4$  are the same or different and each is, independently, hydrogen, hydroxy, thiol, halogen, cyano, carboxy, sulfonic acid,  $R^a$ ,  $R^b$ , alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl, alkylthio, arylthio, alkoxy, aryloxy, sulfonamide, acyl, ester, amido, acyloxy, esteroxy or amidooxy;

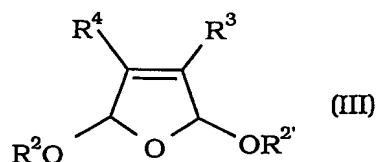
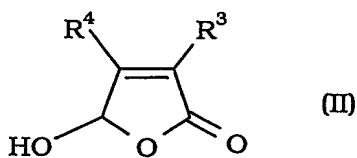
X is  $-\text{CONR}^5\text{R}^6$ ,  $-\text{COOR}^7$  or  $-\text{CN}$ ;

$R^5$ ,  $R^6$ ,  $R^7$  are the same or different, and each is, independently, hydrogen,  $R^a$  or  $R^b$ ;

$R^a$  is C1-20 alkyl or C1-20 alkyl substituted by one or more hydroxy, thiol, halogen, cyano, carboxy, sulfonic acid,  $R^b$ , alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl, alkylthio, arylthio, alkoxy, aryloxy, sulfonamide, acyl, ester, amido, acyloxy, esteroxy and/or amidooxy;

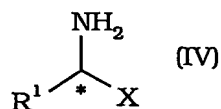
$R^b$  is aryl, heteroaryl, heterocycloalkyl or the same substituted by one or more  $R^a$ , hydroxy, thiol, halogen, cyano, carboxy, sulfonic acid, aryl, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl, alkylthio, arylthio, alkoxy, aryloxy, sulfonamide, heterocycloalkyl, heteroaryl, acyl, ester, amido, acyloxy, esteroxy and/or amidooxy;

comprising the reaction of a furan derivative of formula (II) or (III)



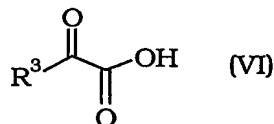
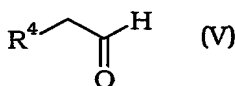
wherein  $R^2$  and  $R^{2'}$  are the same or different and each is C1-10 alkyl or the same substituted by aryl,  
with a compound of formula (IV)

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and with H<sub>2</sub> in the presence of catalyst.

2. The process according to claim 1, wherein a furan derivative of formula (II) is used.
- 5 3. The process according to claim 1 or 2, wherein R<sup>3</sup> is hydrogen.
4. The process according to any of claims 2 to 3, wherein R<sup>4</sup> is R<sup>a</sup> or hydrogen.
5. The process according to claim 4, wherein R<sup>4</sup> is C1-6 alkyl or C1-6 alkyl substituted by one or more halogens.
6. The process according to claim 5, wherein R<sup>4</sup> is n-propyl.
- 10 7. The process according to any of the preceding claims, wherein X is -CONR<sup>5</sup>R<sup>6</sup>.
8. The process according to claim 7, wherein X is -CONH<sub>2</sub>.
9. The process according to any of claims 1 to 8, wherein R<sup>1</sup> is C1-6 alkyl.
10. The process according to claim 9, wherein R<sup>1</sup> is ethyl.
- 15 11. The process according to any of claims 2 to 10, wherein the compound of formula (II) is obtained by reaction of an aldehyde of formula (V) with a ketoacid of formula (VI),



wherein R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1, in the presence of a base.

- 20 12. The process according to any of the preceding claims, wherein the compound of formula (IV) is obtained by neutralisation of the corresponding hydrochloride salt.
13. The process according to any of the preceding claims, wherein the catalyst is a Pd, Pt or Ni based catalyst.
14. The process according to claim 13, wherein the catalyst is a Pd based catalyst.
- 25 15. The process according to any of the preceding claims, wherein compounds of formula (I) are in the (S)-form or in the (R)-form.
16. The process according to claim 15, wherein compounds of formula (I) are in the (S)-form.
17. The process according to any of the preceding claims, wherein when R<sup>3</sup> and/or R<sup>4</sup> are different from hydrogen the obtained diastereoisomers are further separated.
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18. The process according to any of the preceding claims, which is applied to the preparation of (2S)-2-((4R)-2-oxo-4-n-propyl-1-pyrrolidiny1)butanamide.